

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent Application of:
Melwyn Abreo et al.

22511
PATENT TRADEMARK OFFICE

Application No.: 10/566,193

Confirmation No.: 5685

Filed: January 30, 2006

Art Unit: 1624

For: PYRIDYL DERIVATIVES AND THEIR USE
AS THERAPEUTIC AGENTS

Examiner: N. E. Jarrell


DECLARATION OF ZAIHUI ZHANG UNDER 37 C.F.R. §1.132

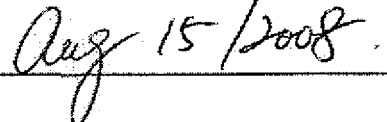
Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

I, Zaihui Zhang, hereby declare:

1. I am one of the inventors of U.S. Patent Application Serial No. 10/566,193 entitled "PYRIDYL DERIVATIVES AND THEIR USE AS THERAPEUTIC AGENTS."
2. I or others have prepared the SCD inhibitors shown in the attached Table based on the description in the referenced application.
3. I or others have performed SCD inhibition assays based on the assay disclosed in the referenced application, and the inhibition data are shown in the attached Table.
4. I hereby declare that all statements made herein of my own knowledge are true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

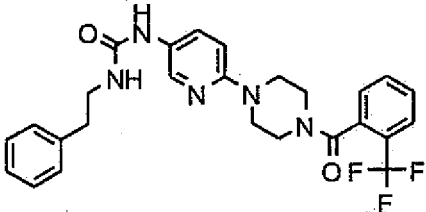
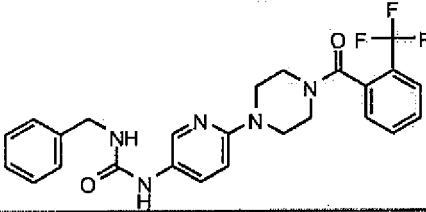
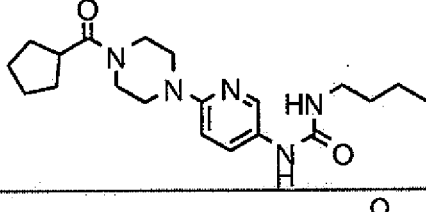
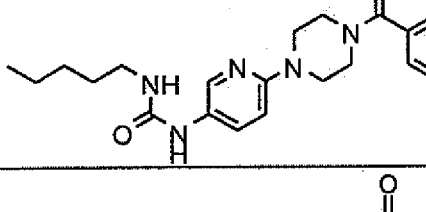
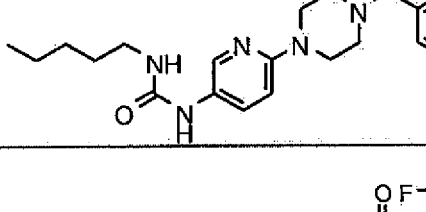
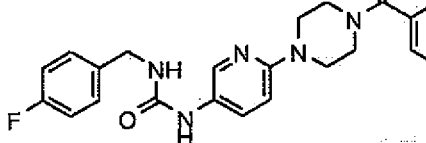
Respectfully Submitted:

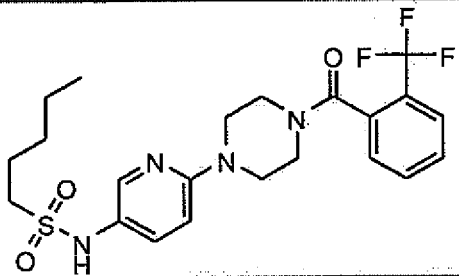
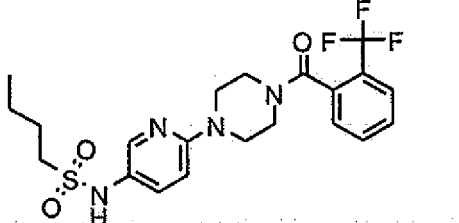
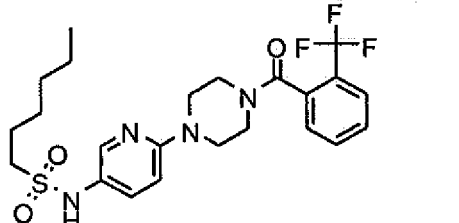
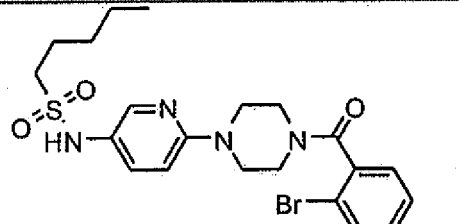
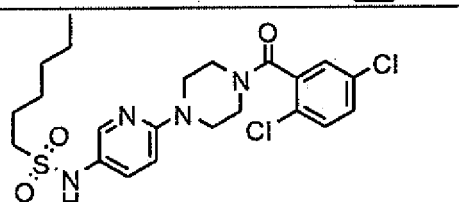
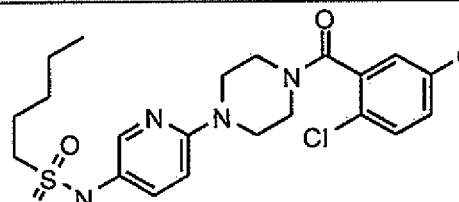

Zaihui Zhang

Date: 

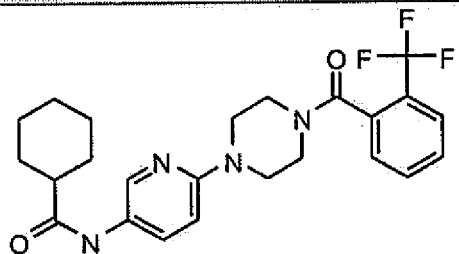
APPENDIX: Activity Data for Representative Compounds

Representative activity data for SCD1 inhibitors disclosed in the application. Compounds were assessed using mouse microsomal assay. Data are presented for a representative number of compounds.

Structure	Chemical Name	Example/ % Activity at 10 μ M
	1-Phenethyl-3-{6-[4-(2-trifluoromethylbenzoyl)piperazin-1-yl]pyridin-3-yl}urea	1.2 17.2%
	1-Benzyl-3-{6-[4-(2-trifluoromethylbenzoyl)piperazin-1-yl]-pyridin-3-yl}urea	1.3 7.24%
	1-[6-(4-Cyclopentanecarbonylpiperazin-1-yl)pyridin-3-yl]-3-pentylurea	1.5 67.4%
	1-Pentyl-3-{6-[4-(pyridine-4-carbonyl)piperazin-1-yl]-pyridin-3-yl}urea	1.6 86.3%
	1-Pentyl-3-{6-[4-(pyridine-2-carbonyl)piperazin-1-yl]-pyridin-3-yl}urea	1.7 85.7%
	1-(4-Fluoro-benzyl)-3-{6-[4-(2-trifluoromethyl-benzoyl)-piperazin-1-yl]-pyridin-3-yl}-urea	1.8 6.0%

Structure	Chemical Name	Example/ % Activity at 10 μ M
	Pentane-1-sulfonic acid {6-[4-(2-trifluoromethylbenzoyl)piperazin-1-yl]pyridin-3-yl} amide	2 5.8%
	Butane-1-sulfonic acid {6-[4-(2-trifluoromethylbenzoyl)-piperazin-1-yl]pyridin-3-yl} amide	2.1 38.5%
	Hexane-1-sulfonic acid {6-[4-(2-trifluoromethylbenzoyl)-piperazin-1-yl]pyridin-3-yl} amide	2.2 26%
	Pentane-1-sulfonic acid {6-[4-(2-bromobenzoyl)piperazin-1-yl]pyridin-3-yl} amide	2.3 18.2%
	Hexane-1-sulfonic acid {6-[4-(2,5-dichlorobenzoyl)piperazin-1-yl]pyridin-3-yl} amide	2.4 17.1%
	Pentane-1-sulfonic acid {6-[4-(2,5-dichlorobenzoyl)piperazin-1-yl]pyridin-3-yl} amide	2.5 13.6%

Structure	Chemical Name	Example/ % Activity at 10 μ M
	Hexane-1-sulfonic acid {6-[4-(naphthalene-1-carbonyl)piperazin-1-yl]pyridin-3-yl} amide	2.6 41.7%
	3-Phenyl-N-{6-[4-(2-trifluoromethylbenzoyl)piperazin-1-yl]pyridin-3-yl} propionamide	3 9.05%
	Hexanoic acid {6-[4-(2-trifluoromethylbenzoyl)piperazin-1-yl]pyridin-3-yl} amide	3.2 20.9%
	Hexanoic acid {6-[4-(naphthalene-1-carbonyl)piperazin-1-yl]pyridin-3-yl} amide	3.5 12.6%
	Heptanoic acid {6-[4-(naphthalene-1-carbonyl)piperazin-1-yl]pyridin-3-yl} amide	3.7 24%
	Cyclohexanecarboxylic acid [6-(4-cyclohexanecarbonyl)piperazin-1-yl]pyridin-3-yl} amide	3.8 39.8%

Structure	Chemical Name	Example/ % Activity at 10 μ M
	Cyclohexanecarboxylic acid {6-[4-(2-trifluoromethylbenzoyl)piperazin-1-yl]pyridin-3-yl}amide	3.9 78.2%